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# Statement of Problem and Results

The exploration of the synthesis and characterization of isoelectronic and isostructural boron analogs of the  $\alpha$ -amino acids, their precursors, and derivative was continued during this period. The boron analogs are very weak acids with pK $_1$  approximately 8. They exhibit significant anti-arthritic, hypolipidemic, and anti-tumor activity. The chemistry and activity has been described in publications 1-9 and in patents and patent submissions 1-5.

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### **Publications**

- 1. Iris H. Hall, C. O. Starnes, A. T. McPhail, P. Wisian-Neilson, M. K. Das, F. Harchelroad, Jr., and B. F. Spielvogel, "The Anti-inflammatory Activity of Amine-Cyanoboranes, Amine-Carboxyboranes, and Related Compounds," J. Pharm. Sci.,  $\underline{69}$ , 1025 (1980)
- 2. B. F. Spielvogel, A. T. McPhail, M. K. Das, and I. H. Hall, "Boron Analogues of the  $\alpha$ -Amino Acids. Snythesis, X-Ray Crystal Structure, and Biological Activity of Ammonia-carboxyborane, the Boron Analogue of Glycine," J. Amer. Chem. Soc., 102, 6343 (1980)
- 3. B. F. Spielvogel, "Synthesis and Biological Activity of Boron Analogues of the  $\alpha$ -Amino Acids and Related Compounds," "Boron IV," Pergammon Press, R. W. Parry and G. Kodama, Eds., 1980, p. 119
- 4. I. H. Hall, M. K. Das, F. Harchelroad, Jr., P. Wisian-Neilson, A. T. McPhail, and B. F. Spielvogel, "The Antihyperlipidemic Activity of Amine Cyano- and Carboxy- Boranes and Related Compounds," J. Pharm. Sci. 70 339 (1981)
- 5. K. H. Scheller, R. B. Martin, B. F. Spielvogel, and A. T. McPhail, "Basicity and Metal Ion Binding Capability of Amine-Carboxyboranes, R<sub>3</sub>N·BH<sub>2</sub>COOH, Boron Analogs of Glycine and N-Methylated Glycines," Inorg. Chemica Acta 57, 227 (1982)
- 6. I. H. Hall, B. F. Spielvogel, A. T. McPhail, "The Antineoplastic Activity of a Binuclear Copper (II) Complex of Trimethylaminecarboxyborane in Ehrlich Ascites Carcinoma," in press, J. Pharm. Sci.
- 7. B. F. Spielvogel, A. T. McPhail, J. A. Knight, C. G. Moreland, C. L. Gatchell, and K. W. Morse, "Predictive Schemes for the Reactivity of Borane Carbonyl and the Stability of Carbonyltrihydroborate Anions,  $BH_3C(0)X^-$ ," in press, Polyhedron
- 8. Bernard F. Spielvogel. Fahim U. Ahmed, Karen W. Morse, and Andrew T. McPhail "Boron Analogs of Amino Acids. 3. Synthesis of N-Ethylamidoborane Adducts of  $Me_3N$ ,  $Me_2NH$ ,  $MeNH_2$  and  $NH_3$ ." in press, Inorg. Chem.
- 9. Progress Reports, #1-5, 1 July 1980-31 December 1982

### Patents Issued

- 1. Pharmacologically Active Amine-Boranes U. S. 4,312,989 (1982), Bernard F. Spielvogel, Andrew T. McPhail, Iris H. Hall
- 2. Pharmacologically Active Amine-Boranes, Method of Use, U. S. Patent 4.368.194 (1983), Bernard F. Spielvogel, Andrew T. McPhail, Iris H. Hall

### Patents Pending

3. Pharmacologically Active Amine-Carboxyboranes, U. S. Pate Appl. SN 106,416. Bernard F. Spielvogel, Andrew T. McPhail, Iris H. n.,

- 4. Pharmacologically Active Amine-Carboxyboranes, European Patent Office SN 80810406.1, Bernard F. Spielvogel, Andrew T. McPhail, Iris H. Hall
- 5. Pharmacologically Active Amine-Carboxyboranes, Hanabusa Patent Office, Japan, Appl. SN GY-2024/A 12601, Bernard F. Spielvogel, Andrew T. McPhail, Iris H. Hall

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